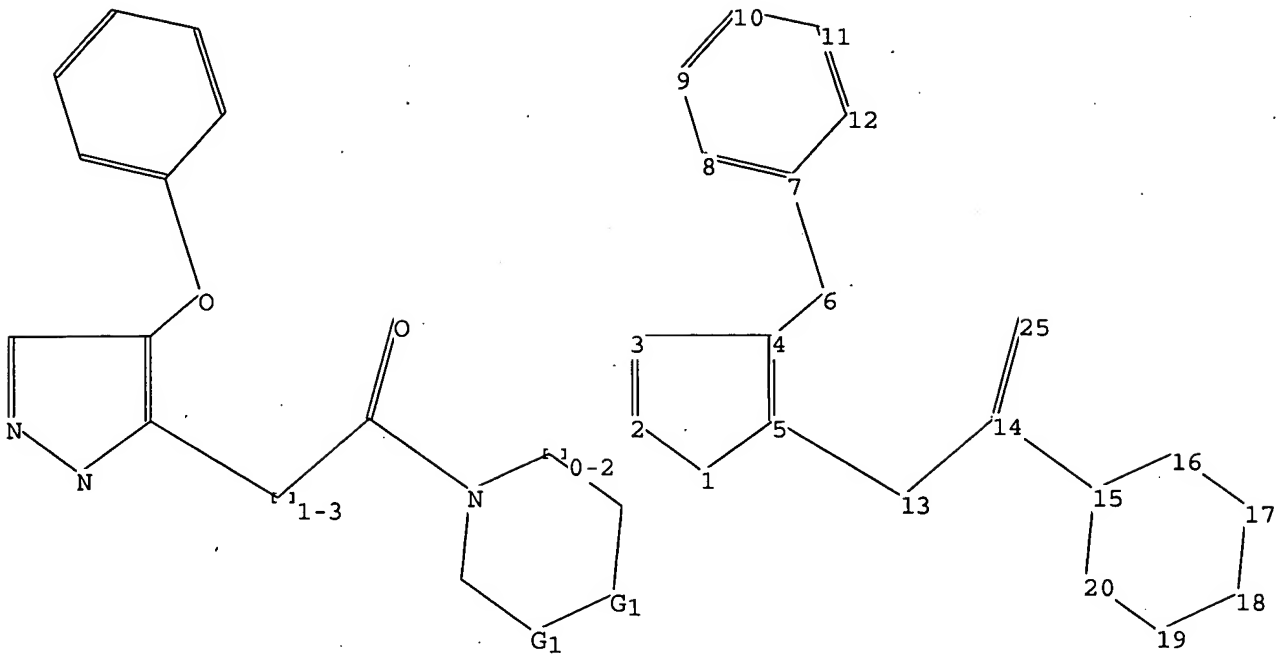


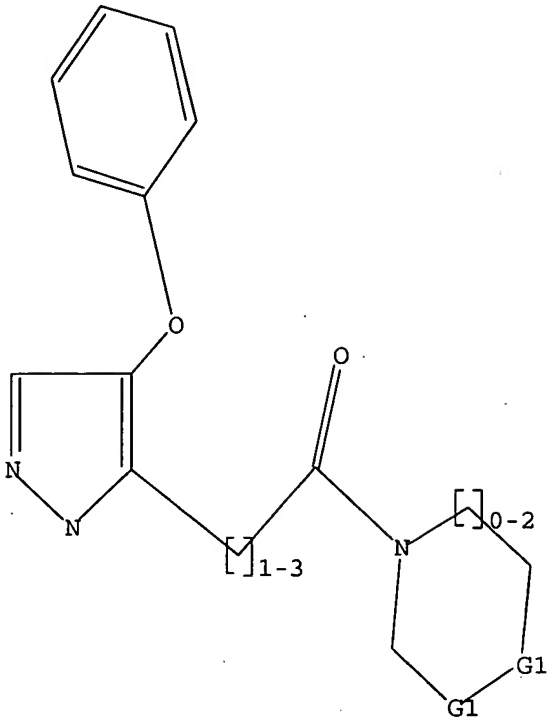
chain nodes :
6 13 14 25
ring nodes :
1 2 3 4 5 7 8 9 10 11 12 15 16 17 18 19 20
chain bonds :
4-6 5-13 6-7 13-14 14-15 14-25
ring bonds :
1-2 1-5 2-3 3-4 4-5 7-8 7-12 8-9 9-10 10-11 11-12 15-16 15-20 16-17
17-18 18-19 19-20
exact/norm bonds :
1-2 1-5 2-3 3-4 4-5 4-6 5-13 6-7 13-14 14-15 14-25 15-16 15-20 16-17
17-18 18-19 19-20
normalized bonds :
7-8 7-12 8-9 9-10 10-11 11-12
isolated ring systems :
containing 1 : 7 :

G1:C,N

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:CLASS 7:Atom 8:Atom 9:Atom 10:Atom
11:Atom 12:Atom 13:CLASS 14:CLASS 15:Atom 16:Atom 17:Atom 18:Atom 19:Atom
20:Atom 25:CLASS

L1 STRUCTURE UPLOADED

=> d
L1 HAS NO ANSWERS
L1 STR



G1 C,N

Structure attributes must be viewed using STN Express query preparation.

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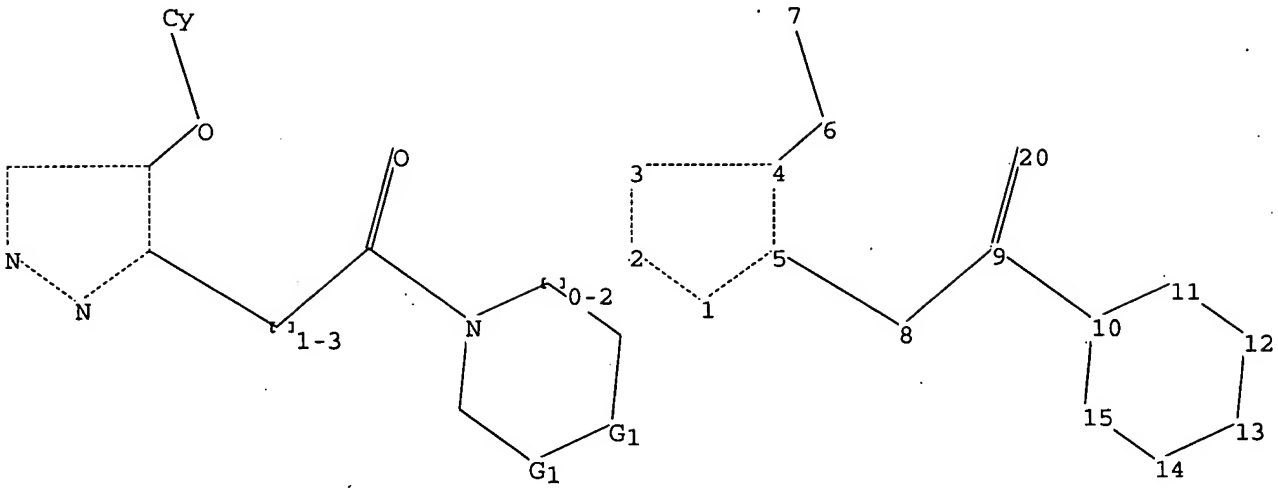
=> s l1
SAMPLE SEARCH INITIATED 11:21:42 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -      0 TO ITERATE

100.0% PROCESSED         0 ITERATIONS                                0 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:            0 TO         0
PROJECTED ANSWERS:               0 TO         0

L2             0 SEA SSS SAM L1

=>
Uploading C:\Program Files\Stnexp\Queries\106697941.str
```



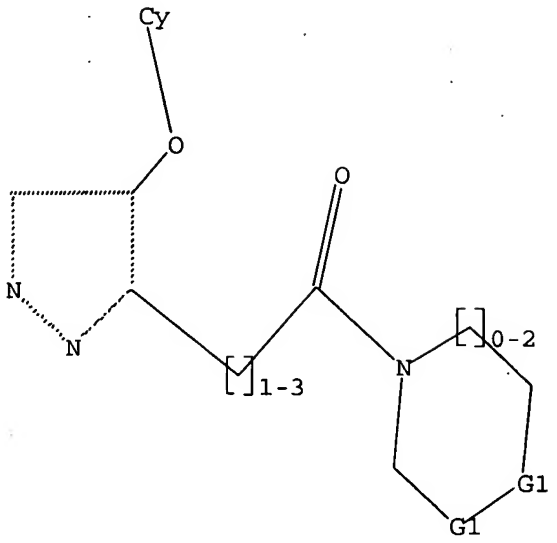
chain nodes :
6 7 8 9 20
ring nodes :
1 2 3 4 5 10 11 12 13 14 15
chain bonds :
4-6 5-8 6-7 8-9 9-10 9-20
ring bonds :
1-2 1-5 2-3 3-4 4-5 10-11 10-15 11-12 12-13 13-14 14-15
exact/norm bonds :
1-2 1-5 2-3 3-4 4-5 4-6 5-8 6-7 8-9 9-10 9-20 10-11 10-15 11-12 12-13
13-14 14-15
isolated ring systems :
containing 1 : 7 :

G1:C,N

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:CLASS 7:Atom 8:CLASS 9:CLASS 10:Atom
11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 20:CLASS

L3 STRUCTURE UPLOADED

=> d
L3 HAS NO ANSWERS
L3 STR



G1 C,N

Structure attributes must be viewed using STN Express query preparation.

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=> s l3
SAMPLE SEARCH INITIATED 11:26:35 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -        0 TO ITERATE

100.0% PROCESSED         0 ITERATIONS                              0 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:            0 TO        0
PROJECTED ANSWERS:               0 TO        0

L4             0 SEA SSS SAM L3

=> s l4 full
FULL SEARCH INITIATED 11:28:53 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -       23 TO ITERATE

100.0% PROCESSED        23 ITERATIONS                             20 ANSWERS
SEARCH TIME: 00.00.01

L5            20 SEA SSS FUL L3

=> file caplus
COST IN U.S. DOLLARS                          SINCE FILE      TOTAL
                                                 ENTRY    SESSION
FULL ESTIMATED COST                             172.22     172.43

FILE 'CAPLUS' ENTERED AT 11:28:59 ON 01 APR 2006
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2006 AMERICAN CHEMICAL SOCIETY (ACS)
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FILE COVERS 1907 - 1 Apr 2006 VOL 144 ISS 15
FILE LAST UPDATED: 31 Mar 2006 (20060331/ED)

Effective October 17, 2005, revised CAS Information Use Policies apply. They are available for your review at:

http://www.cas.org/infopolicy.html

=> s l5
L6 2 L5

=> d ibib abs hitstr tot

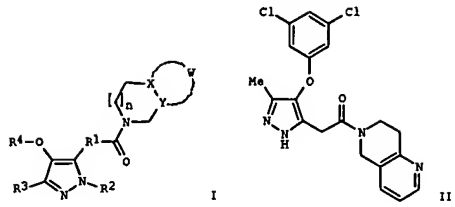
L6 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2004:292024 CAPLUS
DOCUMENT NUMBER: 140:303665
TITLE: Preparation of pyrazole amides for treating HIV infections
INVENTOR(S): Jones, Lyn Howard; Mowbray, Charles Eric; Price, David Anthony; Selby, Matthew Duncan; Stupple, Paul Anthony
PATENT ASSIGNEE(S): Pfizer Limited, UK; Pfizer Inc.
SOURCE: PCT Int. Appl., 55 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004029051	A1	20040408	WO 2003-IB4071	20030915
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2495338	AA	20040408	CA 2003-2495338	20030915
AU 2003263455	A1	20040419	AU 2003-263455	20030915
BR 2003014759	A	20050726	BR 2003-14759	20030915
EP 1556381	A1	20050727	EP 2003-798295	20030915
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
JP 2006505625	T2	20060216	JP 2005-501939	20030915
US 2005004129	A1	20050106	US 2003-669794	20030923

PRIORITY APPLN. INFO.:

GB 2002-22375	A	20020926
GB 2002-23357	A	20021008
US 2002-433220P	P	20021213
WO 2003-IB4071	W	20030915

OTHER SOURCE(S): MARPAT 140:303665
GI

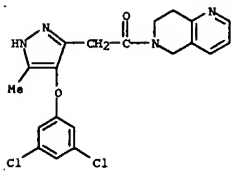


AB The title compds. [I; WXY = (un)substituted 5-6 membered partially saturated or aromatic ring containing 0-3 N atoms wherein X = CH or N and Y = CH, or, when X = CH, may also be N; R1 = a bond, alkylene, R2 = H, alkyl, cycloalkyl, etc.; R3 = H, alkyl, cycloalkyl, etc.; R4 = (un)substituted Ph, naphthyl, pyridyl; n = 0-2] which bind to the enzyme reverse transcriptase and are modulators, especially inhibitors thereof, were prepared and formulated. Thus, reacting [4-(3,5-dichlorophenoxy)-3-methyl-1H-pyrazol-5-yl]acetic acid (preparation given) with 5,6,7,8-tetrahydro-[1,6]naphthyridine afforded II. The compds. I were tested for inhibition of HIV-1 reverse transcriptase enzyme (data were given for representative compds. I). The compds. I are useful in the treatment of a variety of disorders including those in which the inhibition of reverse transcriptase is implicated. Disorders of interest include those caused by Human Immunodificiency Virus (HIV) and genetically related retroviruses, such as Acquired Immune Deficiency Syndrome (AIDS).

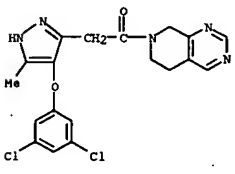
IT **676994-33-5P 676994-34-6P 676994-35-7P**
676994-36-8P 676994-38-0P 676994-39-1P
676994-40-4P 676994-41-5P 676994-42-6P
676994-43-7P 676994-44-8P 676994-45-9P
676994-47-1P 676994-48-2P 676994-49-3P
676994-50-6P 676994-51-7P 676994-52-8P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of pyrazole amides for treating HIV infections)

RN 676994-33-5 CAPLUS
CN 1,6-Naphthyridine, 6-[[4-(3,5-dichlorophenoxy)-5-methyl-1H-pyrazol-3-yl]acetyl]-5,6,7,8-tetrahydro- (9CI) (CA INDEX NAME)

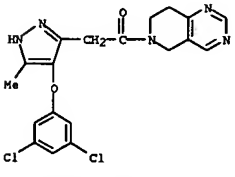
L6 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 676994-34-6 CAPLUS
CN Pyrido[3,4-d]pyrimidine, 7-[[4-(3,5-dichlorophenoxy)-5-methyl-1H-pyrazol-3-yl]acetyl]-5,6,7,8-tetrahydro- (9CI) (CA INDEX NAME)

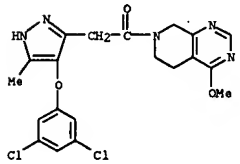


RN 676994-35-7 CAPLUS
CN Pyrido[4,3-d]pyrimidine, 6-[[4-(3,5-dichlorophenoxy)-5-methyl-1H-pyrazol-3-yl]acetyl]-5,6,7,8-tetrahydro- (9CI) (CA INDEX NAME)

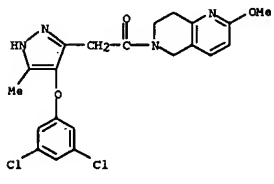


RN 676994-36-8 CAPLUS
CN Pyrido[3,4-d]pyrimidine, 7-[[4-(3,5-dichlorophenoxy)-5-methyl-1H-pyrazol-3-yl]acetyl]-5,6,7,8-tetrahydro-4-methoxy- (9CI) (CA INDEX NAME)

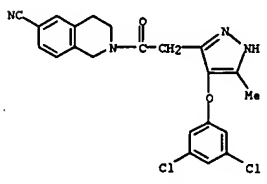
L6 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 676994-38-0 CAPLUS
CN 1,6-Naphthyridine, 6-[[4-(3,5-dichlorophenoxy)-5-methyl-1H-pyrazol-3-yl]acetyl]-5,6,7,8-tetrahydro-2-methoxy- (9CI) (CA INDEX NAME)

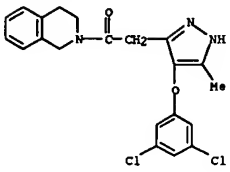


RN 676994-39-1 CAPLUS
CN 6-Isoquinolinecarbonitrile, 2-[[4-(3,5-dichlorophenoxy)-5-methyl-1H-pyrazol-3-yl]acetyl]-1,2,3,4-tetrahydro- (9CI) (CA INDEX NAME)

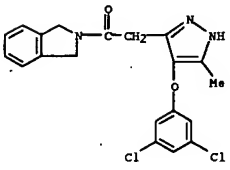


RN 676994-40-4 CAPLUS
CN Isoquinoline, 2-[[4-(3,5-dichlorophenoxy)-5-methyl-1H-pyrazol-3-yl]acetyl]-1,2,3,4-tetrahydro- (9CI) (CA INDEX NAME)

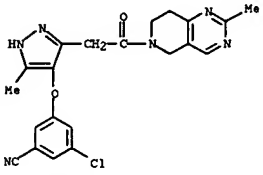
L6 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 676994-41-5 CAPLUS
CN 1H-Isoindole, 2-[[4-(3,5-dichlorophenoxy)-5-methyl-1H-pyrazol-3-yl]acetyl]-2,3-dihydro- (9CI) (CA INDEX NAME)

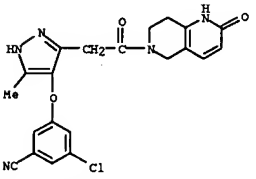


RN 676994-42-6 CAPLUS
CN Pyrido[4,3-d]pyrimidine, 6-[[4-(3-chloro-5-cyanophenoxy)-5-methyl-1H-pyrazol-3-yl]acetyl]-5,6,7,8-tetrahydro-2-methyl- (9CI) (CA INDEX NAME)

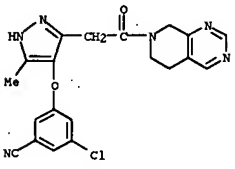


RN 676994-43-7 CAPLUS
CN Imidazo[1,2-a]pyrazine, 7-[[4-(3-chloro-5-cyanophenoxy)-5-methyl-1H-pyrazol-3-yl]acetyl]-5,6,7,8-tetrahydro-2-(trifluoromethyl)- (9CI) (CA

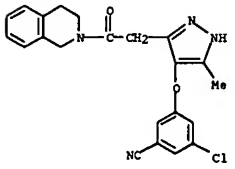
L6 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
pyrazol-3-yl]acetyl]-5,6,7,8-tetrahydro- (9CI) (CA INDEX NAME)



RN 676994-48-2 CAPLUS
CN Pyrido[3,4-d]pyrimidine, 7-[[4-(3-chloro-5-cyanophenoxy)-5-methyl-1H-pyrazol-3-yl]acetyl]-5,6,7,8-tetrahydro- (9CI) (CA INDEX NAME)

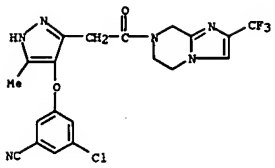


RN 676994-49-3 CAPLUS
CN Isoquinoline, 2-[[4-(3-chloro-5-cyanophenoxy)-5-methyl-1H-pyrazol-3-yl]acetyl]-1,2,3,4-tetrahydro- (9CI) (CA INDEX NAME)

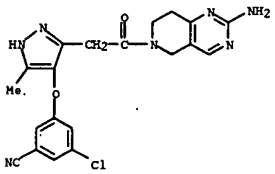


RN 676994-50-6 CAPLUS
CN Pyrido[4,3-d]pyrimidine, 6-[[4-(3-chloro-5-cyanophenoxy)-5-methyl-1H-pyrazol-3-yl]acetyl]-5,6,7,8-tetrahydro- (9CI) (CA INDEX NAME)

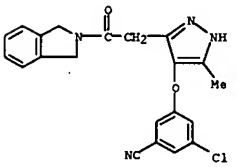
L6 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
INDEX NAME)



RN 676994-44-8 CAPLUS
CN Pyrido[4,3-d]pyrimidin-2-amine, 6-[[4-(3-chloro-5-cyanophenoxy)-5-methyl-1H-pyrazol-3-yl]acetyl]-5,6,7,8-tetrahydro- (9CI) (CA INDEX NAME)

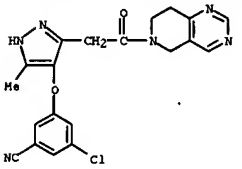


RN 676994-45-9 CAPLUS
CN 1H-Isoindole, 2-[[4-(3-chloro-5-cyanophenoxy)-5-methyl-1H-pyrazol-3-yl]acetyl]-2,3-dihydro- (9CI) (CA INDEX NAME)

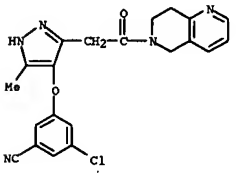


RN 676994-47-1 CAPLUS
CN 1,6-Naphthyridin-2(1H)-one, 6-[[4-(3-chloro-5-cyanophenoxy)-5-methyl-1H-

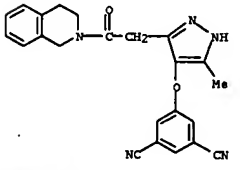
L6 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 676994-51-7 CAPLUS
CN 1,6-Naphthyridine, 6-[[4-(3-chloro-5-cyanophenoxy)-5-methyl-1H-pyrazol-3-yl]acetyl]-5,6,7,8-tetrahydro- (9CI) (CA INDEX NAME)



RN 676994-52-8 CAPLUS
CN Isoquinoline, 2-[[4-(3,5-dicyanophenoxy)-5-methyl-1H-pyrazol-3-yl]acetyl]-1,2,3,4-tetrahydro- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2002:832763 CAPLUS
DOCUMENT NUMBER: 137:337884
TITLE: Preparation of aryloxy pyrazole derivatives as reverse transcriptase inhibitors for treating HIV
INVENTOR(S): Jones, Lyn Howard; Mowbray, Charles Eric; Price, Davis Anthony; Selby, Matthew Duncan; Stupple, Paul Anthony
PATENT ASSIGNEE(S): Pfizer Limited, UK; Pfizer Inc.
SOURCE: PCT Int. Appl., 306 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002085860	A1	20021031	WO 2002-IB1234	20020404
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
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CA 2443449	AA	20021031	CA 2002-2443449	20020404
EP 1377556	A1	20040107	EP 2002-708600	20020404
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BR 2002008811	A	20040309	BR 2002-8811	20020404
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NO 2003004523	A	20031209	NO 2003-4523	20031009
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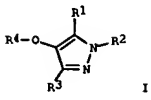
US 2002-346727P	P	20020107
WO 2002-IB1234	W	20020404
US 2002-118512	A3	20020405

OTHER SOURCE(S): MARPAT 137:337884
GI

L6 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



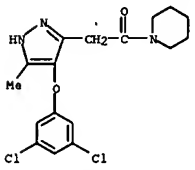
I

AB This invention relates to pyrazole derivs. (shown as I; e.g. 2-Amino-6-[[4-(3,5-dichlorophenoxy)-3,5-diethyl-1H-pyrazol-1-yl]methyl]-4(3H)-pyrimidinone) or pharmaceutically acceptable salts, solvates or derivative thereof, wherein R1 to R4 are defined below, and to processes for the preparation thereof, intermediates used in their preparation of, compns. containing them and the uses of such derivs. The compds. of the present invention bind to the enzyme reverse transcriptase and are modulators, especially inhibitors thereof. As such the compds. of the present invention are useful in the treatment of a variety of disorders including those in which the inhibition of reverse transcriptase is implicated. Disorders of interest include those caused by Human Immunodeficiency Virus (HIV) and genetically related retroviruses, such as Acquired Immune Deficiency Syndrome (AIDS). In tests of inhibition of HIV-1 reverse transcriptase enzyme, the claimed compds. 2-amino-6-[[4-(3,5-dichlorophenoxy)-3,5-diethyl-1H-pyrazol-1-yl]methyl]-4(3H)-pyrimidinone, 3,5-dimethyl-4-[[3,5-diethyl-1-(2-hydroxyethyl)-1H-pyrazol-4-yl]oxy]benzonitrile and 1-(3-azetidinyl)-4-(3,5-dichlorophenoxy)-3,5-diethyl-1H-pyrazole had IC50 values of 39,000, 3,200 and 248 nM, resp. In I: R1 is H, C1-C6 alkyl, C3-C7 cycloalkyl, Ph, benzyl, halo, -CN, -OR7, -CO2R10, -CONR5R10, R8 or R9. R2 is H, C1-C6 alkyl, C3-C6 alkenyl, C3-C6 alkynyl, C3-C7 cycloalkyl, C3-C7 cycloalkenyl, Ph, benzyl, R8 or R9; or, R1 and R2, when taken together, represent unbranched C3-C4 alkylene. R3 is H, C1-C6 alkyl, C3-C7 cycloalkyl, Ph, benzyl, halo, -CN, -OR7, -CO2R5, -CONR5R5, R8 or R9; R4 is Ph, naphthyl or pyridyl. Definitions of R5 and R7-R10 and addnl. specifications are given in the claims. Included are 283 claimed-compound prepns. and 115 intermediate prepns.

IT **473922-03-1P**, 1-[[4-(3,5-Dichlorophenoxy)-3-methyl-1H-pyrazol-5-yl]acetyl]piperidine **473922-04-2P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of aryloxy pyrazole derivs. as reverse transcriptase inhibitors for treating HIV)

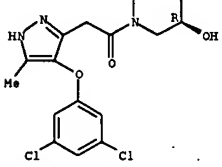
RN 473922-03-1 CAPLUS
CN Piperidine, 1-[[4-(3,5-dichlorophenoxy)-5-methyl-1H-pyrazol-3-yl]acetyl]- (9CI) (CA INDEX NAME)

L6 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 473922-04-2 CAPLUS
CN 3-Piperidinol, 1-[[4-(3,5-dichlorophenoxy)-5-methyl-1H-pyrazol-3-yl]acetyl]-, (3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	10.68	183.11

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-1.50	-1.50

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